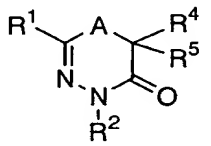
Abstract

The present invention provides a novel compound having an excellent 2-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid (AMPA) receptor antagonistic action, particularly a therapeutic, preventing and ameliorating action useful for cerebral ischemia, cerebrospinal injuries, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea, epilepsy, pain, spastic paralysis, multiple sclerosis etc. That is, the present invention provides a heterodiazinon compound represented by the following formula (I), a pharmacologically acceptable salt thereof or hydrates thereof.



(I)

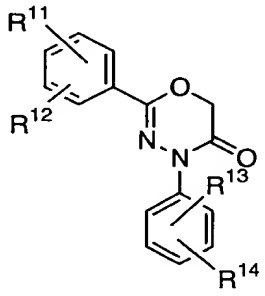
Wherein A represents an oxygen atom, a sulfur atom or a group represented by the formula $>NR^3$ (wherein R^3 represents hydrogen atom or a lower alkyl group);

R^1 and R^2 are the same as or different from each other and each represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted heteroaryl alkyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, an optionally substituted piperidyl group, an optionally

substituted piperazinyl group, a morpholinyl group, an optionally substituted lower cycloalkyl group, a tetrahydrofuranyl group, a tetrahydropyranyl group, an adamantyl group, an optionally substituted amino group or an optionally substituted amide group; and

R^4 and R^5 are the same as or different from each other and each represents hydrogen atom, hydroxy, a halogen atom, nitrile group, nitro group, a lower alkyl group, an aryl group or a heteroaryl group,

provided that the compounds represented by the following formula (II):



(II)

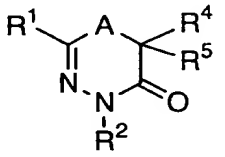
(wherein R^{11} and R^{12} are the same as or different from each other and each represents hydrogen atom, fluorine, chlorine, bromine, iodine, a C1-C2 fluoroalkyl group, a C1-C2 chloroalkyl group, a C1-C2 bromoalkyl group, a C1-C6 alkyl group, a C3-C6 cycloalkyl group, a C7-C9 aralkyl group, phenyl group, a C1-C6 alkoxy group, a C1-C6 alkylthio group, a C1-C6 alkylsulfinyl group, a C7-C9 aralkoxy group, phenoxy group, phenylthio group, phenylsulfonyl group, alkali metal carboxylate C2-C5 alkoxycarbonyl group or a group represented by the formula $-N(R^{15})R^{16}$ (wherein R^{15} and R^{16} are the same as or different from

each other and each represents hydrogen atom or a C1-C2 alkyl group); and

R^{13} and R^{14} are the same as or different from each other and each represents a C_{1-4} alkylsulfonyl group, nitro group, a group represented by the formula $-OCH_nX_{3-n}$ (wherein X represents fluorine, chlorine, bromine or iodine; and n is any of integers 1 to 3) or a group having the same meanings as the definitions of R^{11} and R^{12}) are excluded.

Abstract amended by International Search Authority

The present invention provides a hetrodiazinon compound having 2-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid (AMPA) receptor antagonistic action, which is represented by the following formula (I), a pharmacologically acceptable salt thereof or hydrates thereof.



(I)

Wherein A represents O, S or a group represented by the formula NR^3 (wherein R^3 represents hydrogen atom or a lower alkyl group);

R^1 and R^2 are the same as or different from each other and each represents an optionally substituted (hetero)aryl group etc.; and

R^4 and R^5 are the same as or different from each other and each represents hydrogen atom, hydroxy, a halogen atom, nitrile group, nitro group, a lower alkyl group, a (hetero)aryl group etc.